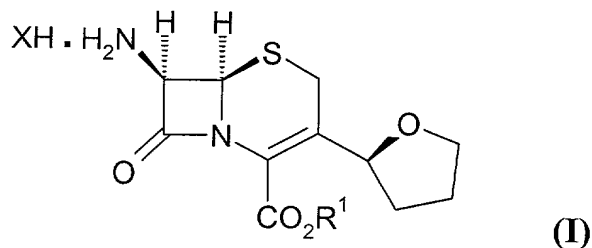


**CLAIMS:**

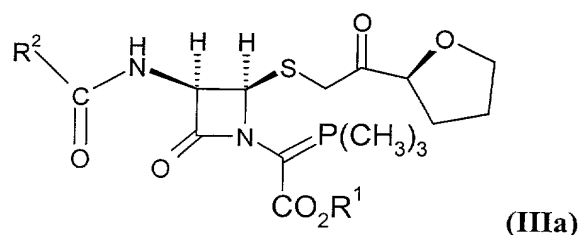
1. A process for preparing a compound of formula (I):



wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; and X is halo;

comprising the steps of:

- a) cyclizing a trimethylphosphinic compound of formula (IIIa)



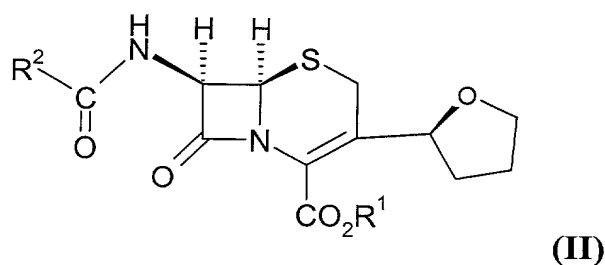
wherein

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl;

in a solvent;

to form a compound of formula (II)



wherein

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

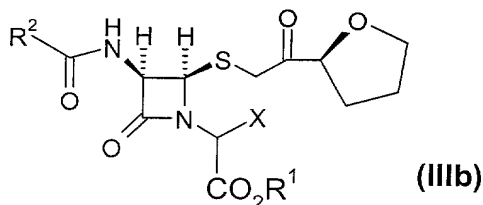
R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl; and

- b) reacting said compound of formula (II) with an acid.

2. A process according to claim 1, wherein said solvent is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile.

3. A process according to claim 1, wherein said acid is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.

4. A process according to claim 1, further comprising the step of preparing said compound of formula (IIIa), by reacting a compound of formula (IIIb)



5

wherein said  $\text{R}^1$  is *para*-nitrobenzyl or allyl,

said  $\text{R}^2$  is selected from the group consisting of  $\text{C}_{1-6}$ alkyl,  $\text{C}_{6-10}$ aryl,  $\text{C}_{6-10}\text{arylC}_{1-6}$ alkyl and dithianyl; and

said X is halo;

10

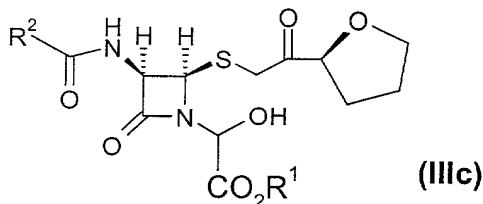
with trimethylphosphine, in a solvent and in the presence of a base.

5. A process according to claim 4, wherein said solvent is tetrahydrofuran, acetonitrile or methylene chloride.

6. A process according to claim 4, wherein said base is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.

15

7. A process according to claim 4, further comprising the step of preparing said compound of formula (IIIb), by reacting a compound of formula (IIIc)



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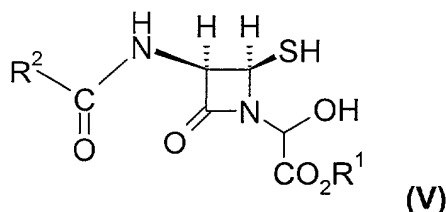
wherein said  $\text{R}^1$  is *para*-nitrobenzyl or allyl and said  $\text{R}^2$  is selected from the group consisting of  $\text{C}_{1-6}$ alkyl,  $\text{C}_{6-10}$ aryl,  $\text{C}_{6-10}\text{arylC}_{1-6}$ alkyl and dithianyl; with a halogenating agent, in a solvent and in the presence of a base.

8. A process according to claim 7, wherein said halogenating agent is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said halo is chloro or bromo.

9. A process according to claim 7, wherein said base is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.

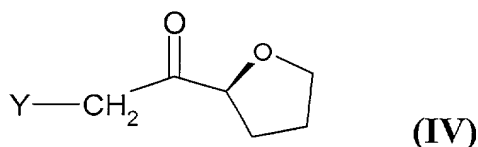
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10. A process according to claim 7, further comprising the step of preparing said compound of formula (IIIc), by reacting a compound of formula (V)



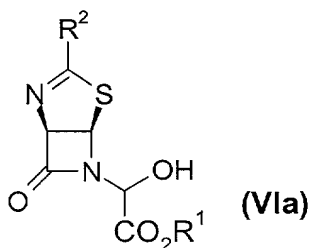
wherein said R<sup>1</sup> is *para*-nitrobenzyl or allyl and said R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl;

with a compound of formula (IV)



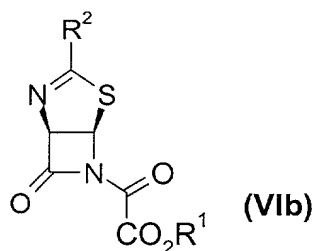
wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent.

11. A process according to claim 10, wherein said Y is bromo or chloro.
12. A process according to claim 10 wherein said solvent is alcohol selected from the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.
13. A process according to claim 10, further comprising the step of preparing said compound of formula (V) by reacting a compound of formula (VIa)



- 15 wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl and wherein R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl; with an acid in a solvent.

14. A process according to claim 13 wherein said acid is *para*-toluene sulfonic acid or methane sulfonic acid.
15. A process according to claim 13 wherein said solvent is methylene chloride, tetrahydrofuran, acetone or mixtures thereof.
16. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by:  
reacting a compound of formula (VIb)



wherein

R¹ is *para*-nitrobenzyl or allyl;

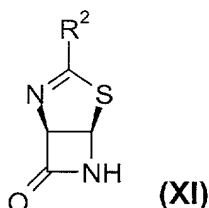
R² is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and  
5 dithianyl;

with a reducing agent selected from the group consisting of sodium borohydride, sodium cyanoborohydride, borane and sodium triacetoxy borohydride; in a solvent.

17. A process according to claim 16 wherein said reducing agent is sodium triacetoxy borohydride.

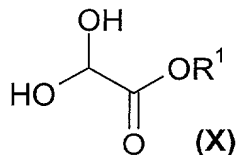
10 18. A process according to claim 16 wherein said solvent is acetic acid, methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.

19. A process according to claim 13 further comprising the step of preparing said compound of formula **(VIa)** by reacting a compound of formula **(XI)**



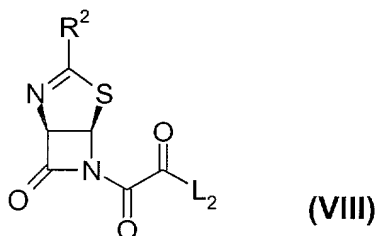
15 wherein R² is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl;

with a compound of formula **(X)**



wherein R¹ is *para*-nitrobenzyl or allyl; in a solvent; in the presence of a base.

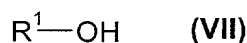
20 20. A process according to claim 16 further comprising the step of preparing said compound of formula **(VIb)** comprising reacting a compound of formula **(VIII)**



wherein

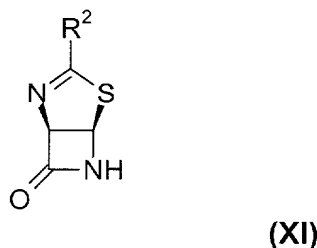
$R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl;

- 5  $L_2$  is a leaving group selected from the group consisting of halo, azide and  $C_{1-6}$ alkoxy; with a compound of formula **(VII)**

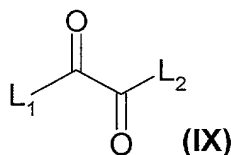


wherein  $R^1$  is *para*-nitrobenzyl or allyl, in a solvent, in the presence of a base;

- 10 further comprising the step of preparing said compound of formula **(VIII)** by reacting a compound of formula **(XI)**

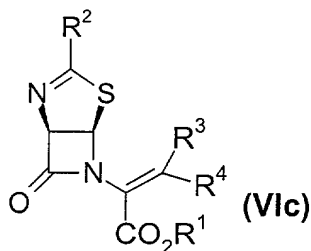


wherein  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl  $C_{1-6}$ alkyl and dithianyl; with a compound of formula **(IX)**



- 15 wherein each of said  $L_1$  and  $L_2$  is a leaving group selected from the group consisting of halo, azide and  $C_{1-6}$ alkoxy; in a solvent, optionally in the presence of a base.

21. A process according to claim 16 further comprising the step of preparing said compound of formula **(VIb)** comprising reacting a compound of formula **(VIc)**



wherein

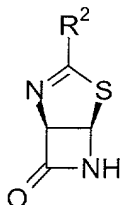
R<sup>1</sup> is *para*-nitrobenzyl or allyl;

R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and  
5 dithianyl;

R<sup>3</sup> is hydrogen or C<sub>1-6</sub>alkyl; and

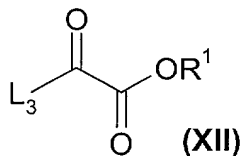
R<sup>4</sup> is hydrogen or C<sub>1-6</sub>alkyl; with ozone, in a solvent.

22. A process according to claim 16 further comprising the step of preparing said compound of formula **(VIb)** comprising reacting a compound of formula **(XI)**



**(XI)**

wherein R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>aryl C<sub>1-6</sub>alkyl, and  
10 dithianyl; with a compound of formula **(XII)**



wherein

15 said L<sub>3</sub> is halo;

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

in a solvent, in the presence of a base.

23. A process according to claim 20, wherein each of L<sub>1</sub> and L<sub>2</sub>, wherever each  
of them occurs, is halo selected from the group consisting of bromo or chloro.

20 24. A process according to claim 21 wherein R<sup>3</sup> is methyl and R<sup>4</sup> is methyl.

25. A process according to claim 7 wherein said solvent, wherever it occurs, is  
methylene chloride, tetrahydrofuran or mixtures thereof.

26. A process according to claim 21 wherein said solvent is methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.

27. A process according to claim 19 wherein said base is selected from the group consisting of diisopropylamine, triethylamine, pyridine and 2,6-lutidine.

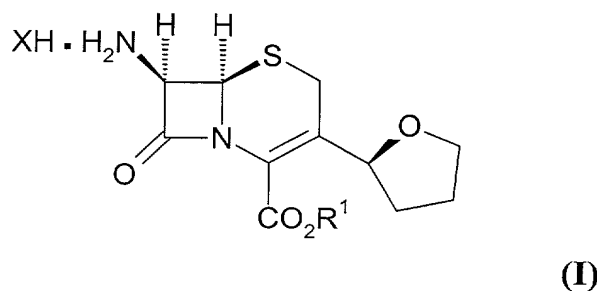
5 28. A process according to claim 1, wherein each of said R<sup>1</sup>, wherever it occurs, is *para*-nitrobenzyl.

29. A process according to claim 1, wherein each of said R<sup>1</sup>, wherever it occurs, is allyl.

10 30. A process according to claim 1, wherein each of said R<sup>2</sup>, wherever it occurs, is C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl.

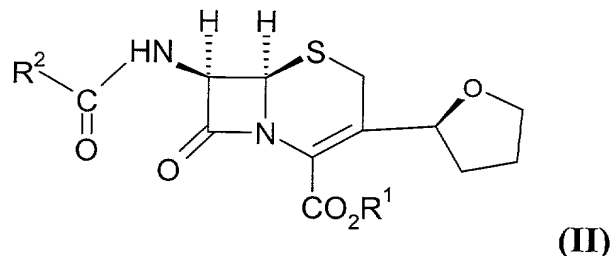
31. A process according to claim 1, wherein each of said R<sup>2</sup>, wherever it occurs, is benzyl.

32. A compound of formula (I)



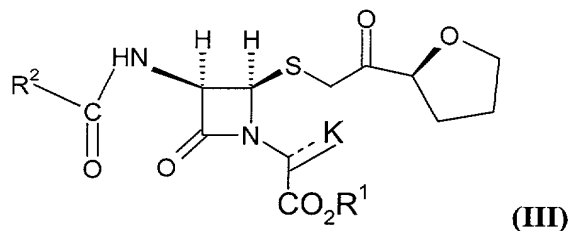
15 wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; and X is halo.

33. A compound of formula (II)



wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; and R<sup>2</sup> is (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1-6</sub>)alkyl.

34. A compound of formula (III)



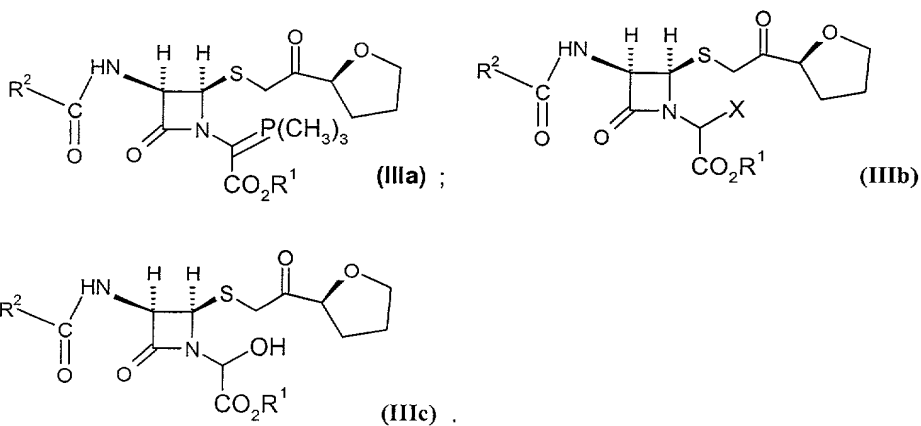
wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl;

R<sup>2</sup> is (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1-6</sub>)alkyl;

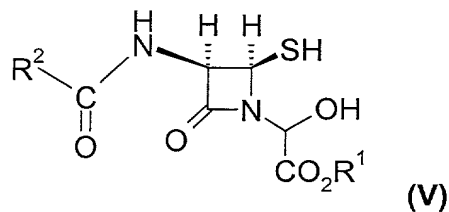
K is hydroxy, halo or -P-(CH<sub>3</sub>)<sub>3</sub>;

- 5        wherein the C-K bond is a single bond when K is hydroxy or halo; and a double bond when K is -P-(CH<sub>3</sub>)<sub>3</sub>; and

      wherein said compound of formula (III) is selected from the group consisting of compound of formulae (IIIa), (IIIb) and (IIIc):



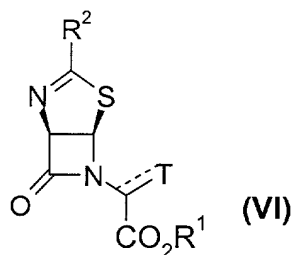
- 10        35.        A compound of formula (V)



wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; and R<sup>2</sup> is (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1-6</sub>)alkyl.

36.        A compound of formula (VI)





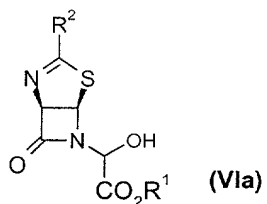
wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl;

R<sup>2</sup> is (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1-6</sub>)alkyl;

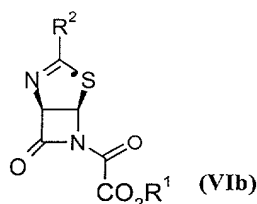
T is hydroxy or >O;

- 5        wherein the C-T bond is a single bond when T is hydroxy; and a double bond when T is >O ; and

      wherein said compound of formula (VI) is selected from the group consisting of compound of formulae (VIa) and (VIb):



and



- 10        37.    A compound according to claim 32, wherein said R<sup>1</sup> is *para*-nitrobenzyl.
38.    A compound according to claim 32, wherein said R<sup>1</sup> is allyl.
39.    A compound according to claim 32, wherein said R<sup>2</sup> is benzyl.